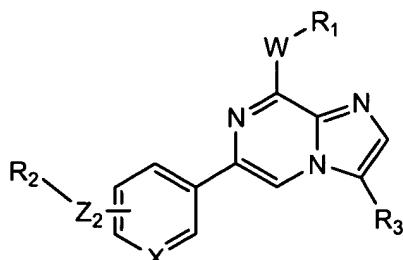


CLAIMS

What is claimed is:

1. A compound having Formula 1:



(Formula 1)

or pharmaceutically-acceptable form thereof, wherein:

R₁ is hydrogen, halogen, C₁-C₇alkyl, C₁-C₆alkoxy, C₃-C₇cycloalkyl(C₀-C₂alkyl), heterocycloalkyl(C₀-C₂alkyl), sulfonamide, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, mono- or di-(C₁-C₆alkyl)amino, or mono- or di-(C₁-C₆alkyl)amino(C₁-C₆alkyl); or

R₁ is phenyl or phenyl fused to a 5 to 7-membered heterocycloalkyl ring containing 1 or 2 heteroatoms chosen from N, O, and S, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, C₁-C₆alkylthio, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), C₂-C₆alkanoyl, and -C(O)R₁₃ where R₁₃ is C₁-C₃haloalkyl, phenyl, heterocycloalkyl, or heteroaryl;

W is phenyl or a 5- or 6-membered heteroaryl containing from 1 to 4 heteroatoms independently chosen from nitrogen, oxygen, and sulfur; wherein W is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, oxo, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), and C₂-C₆alkanoyl;

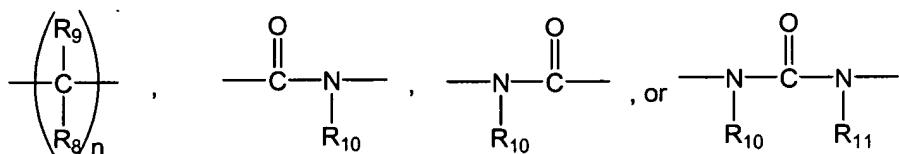
X is N or CH;

R₂ is C₁-C₇alkyl, C₃-C₇cycloalkyl(C₀-C₂alkyl), heterocycloalkyl(C₀-C₂alkyl), C₁-C₆alkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, or (C₁-C₆alkoxy)C₁-C₆alkoxy; or

R₂ is phenyl(C₀-C₂alkyl) or heteroaryl(C₀-C₂alkyl), each of which is substituted with 0 to 3 substituents independently chosen from

- (i) hydroxy, halogen, nitro, cyano, amino, sulfonamide, -CHO, C₁-C₆haloalkyl, and C₁-C₆haloalkoxy, and
- (ii) C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), C₂-C₆alkanoyl, heterocycloalkyl(C₀-C₂alkyl), and -C(O)R₁₃; each of which (ii) is substituted with 0 to 3 substituents independently chosen from halogen, hydroxy, amino, nitro, cyano, C₁-C₄alkoxy, C₃-C₇cycloalkyl, and mono- and di-(C₁-C₄alkyl)amino;

Z₂ is



wherein

R₈ and R₉ are independently hydrogen, C₁-C₆alkyl, C₁-C₆alkoxy, or halogen; and n is 0, 1, or 2;

R₁₀ and R₁₁ are independently

(iii) hydrogen or C₁-C₆alkyl; or

(iv) phenyl or heteroaryl, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), C₂-C₆alkanoyl, and -C(O)R₁₃;

R₃ is hydrogen or C₁-C₆alkyl, or

R₃ is C₃-C₇cycloalkyl(C₀-C₂alkyl), heterocycloalkyl(C₀-C₂alkyl), phenyl, or heteroaryl, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro,

cyano, amino, sulfonamide, -CHO, halogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), C₂-C₆alkanoyl, and -C(O)R₁₃; or R₃ is phenoxy phenyl, each of which phenyl rings is substituted with 0 to 3 substituents

independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), C₂-C₆alkanoyl, and -C(O)R₁₃.

2. A compound or form therof according to Claim 1, wherein

R₁ is hydrogen, halogen, C₁-C₇alkyl, C₁-C₆alkoxy, C₃-C₇cycloalkyl(C₀-C₂alkyl), heterocycloalkyl(C₀-C₂alkyl), sulfonamide, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, mono- or di-(C₁-C₆alkyl)amino, or mono- or di-(C₁-C₆alkyl)amino(C₁-C₆alkyl); or

R₁ is phenyl substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), and C₂-C₆alkanoyl;

W is phenyl or a 5- or 6-membered heteroaryl ring; substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, oxo, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), and C₂-C₆alkanoyl;

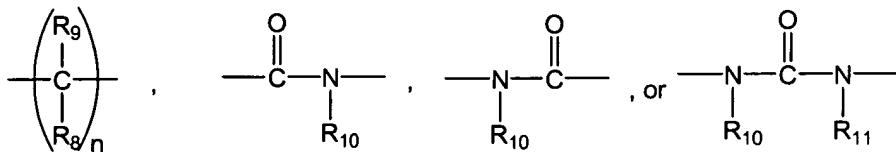
X is N or CH;

R₂ is C₁-C₇alkyl, C₃-C₇cycloalkyl(C₀-C₂alkyl), heterocycloalkyl(C₀-C₂alkyl), C₁-C₆alkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, or (C₁-C₆alkoxy)C₁-C₆alkoxy; or

R_2 is phenyl(C_0 - C_2 alkyl) or 5- or 6-membered heteroaryl(C_0 - C_2 alkyl), each of which is substituted with 0 to 3 substituents independently chosen from

- (i) hydroxy, halogen, nitro, cyano, amino, sulfonamide, -CHO, C_1 - C_6 haloalkyl, and C_1 - C_6 haloalkoxy, and
- (ii) C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_6 alkoxy, (C_1 - C_6 alkoxy) C_1 - C_6 alkyl, (C_1 - C_6 alkoxy) C_1 - C_6 alkoxy, C_1 - C_6 alkylthio, mono- and di-(C_1 - C_6 alkyl)amino, amino(C_1 - C_6 alkyl), mono- and di-(C_1 - C_6 alkyl)amino(C_1 - C_6 alkyl), C_2 - C_6 alkanoyl, and heterocycloalkyl(C_0 - C_2 alkyl); each of which (ii) is substituted with 0 to 3 substituents independently chosen from halogen, hydroxy, amino, nitro, cyano, C_1 - C_4 alkoxy, C_3 - C_7 cycloalkyl, and mono- and di-(C_1 - C_4 alkyl)amino;

Z_2 is



wherein

R_8 and R_9 are independently hydrogen, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, or halogen; and n is 0, 1, or 2;

R_{10} and R_{11} are independently

(iii) hydrogen or C_1 - C_6 alkyl; or

(iv) phenyl or a 5- or 6 membered heteroaryl ring, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkyl, C_1 - C_6 haloalkoxy, (C_1 - C_6 alkoxy) C_1 - C_6 alkyl, (C_1 - C_6 alkoxy) C_1 - C_6 alkoxy, C_1 - C_6 alkylthio, mono- and di-(C_1 - C_6 alkyl)amino, amino(C_1 - C_6 alkyl), mono- and di-(C_1 - C_6 alkyl)amino(C_1 - C_6 alkyl), and C_2 - C_6 alkanoyl;

R_3 is hydrogen or C_1 - C_6 alkyl, or

R_3 is C_3 - C_7 cycloalkyl(C_0 - C_2 alkyl), heterocycloalkyl(C_0 - C_2 alkyl), phenyl, or a 5- or 6-membered heteroaryl, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C_1 - C_6 alkyl, C_2 -

C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), and C₂-C₆alkanoyl; or

R₃ is phenoxy phenyl, each of which phenyl rings is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), and C₂-C₆alkanoyl.

3. A compound or form thereof according to Claim 1 or 2 wherein

R₁ is halogen, C₁-C₇alkyl, C₁-C₆alkoxy, C₃-C₇cycloalkyl(C₀-C₂alkyl), or heterocycloalkyl(C₀-C₂alkyl); or

R₁ is phenyl substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), and C₂-C₆alkanoyl.

4. A compound or form thereof according to Claim 3 wherein

R₁ is halogen or C₁-C₇alkyl; or

R₁ is phenyl substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, halogen, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy, and mono- and di-(C₁-C₄alkyl)amino.

5. A compound or form thereof according to Claim 4 wherein

R₁ is phenyl substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, halogen, C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy, and mono- and di-(C₁-C₄alkyl)amino.

6. A compound or form thereof according to Claim 4 wherein

R₁ is bromo or C₁-C₄alkyl; or

R₁ is phenyl substituted with 0 to 2 substituents independently chosen from fluoro, chloro, bromo, C₁-C₂alkyl, and C₁-C₂alkoxy.

7. A compound or form thereof according to any one of Claims 1 to 6 wherein

W is phenyl, pyridyl, pyrimidinyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl, thienyl, oxazolyl, or isoxazolyl, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, sulfonamide, -CHO, halogen, oxo, C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), and C₂-C₆alkanoyl.

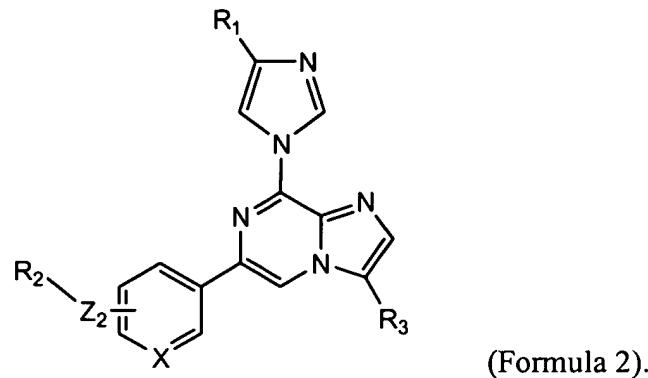
8. A compound or form thereof according to Claim 7 wherein

W is phenyl, pyridyl, pyrimidinyl, imidazolyl, pyrrolyl, pyrazolyl, furanyl, thienyl, oxazolyl, or isoxazolyl, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, nitro, cyano, amino, halogen, oxo, C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy, and mono- and di-(C₁-C₄alkyl)amino.

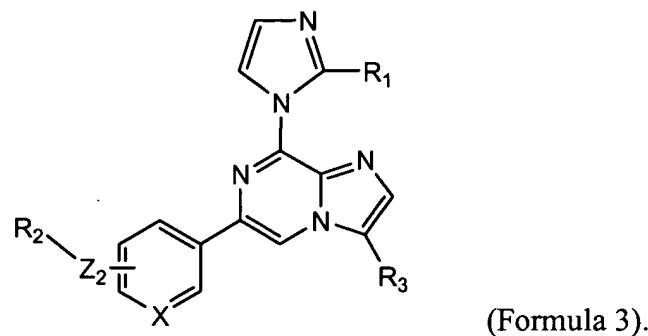
9. A compound or form thereof according to Claim 8, wherein

W is imidazolyl, pyrrolyl, or pyrazolyl, each of which is substituted with 0 to 3 substituents independently chosen from hydroxy, cyano, halogen, oxo, C₁-C₄alkyl, C₁-C₄alkoxy, trifluoromethyl, and trifluoromethoxy.

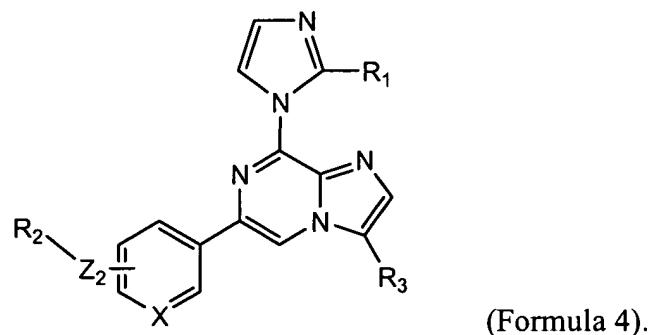
10. A compound or form thereof according to any one of Claims 1 to 6 of Formula 2



11. A compound or form thereof according to any one of Claims 1 to 6 of Formula 3



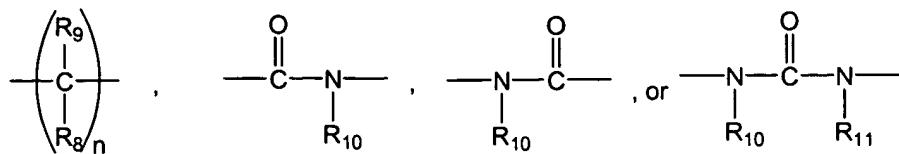
12. A compound or form thereof according to any one of Claims 1 to 6 of Formula 4:



13. A compound or form thereof according to any one of Claims 1 to 12, wherein X is N.

14. A compound or form thereof according to any one of Claims 1 to 12, wherein X is CH.

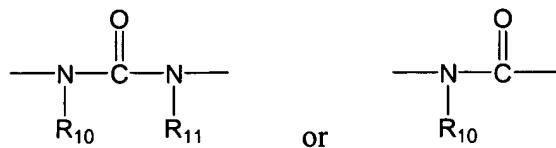
15. A compound or form thereof according to any one of Claims 1 to 14 wherein Z_2 is



wherein

R₈ and R₉ are independently hydrogen or C₁-C₆alkyl; and n is 0, 1, or 2; and R₁₀ and R₁₁ are independently hydrogen, C₁-C₆alkyl, or phenyl.

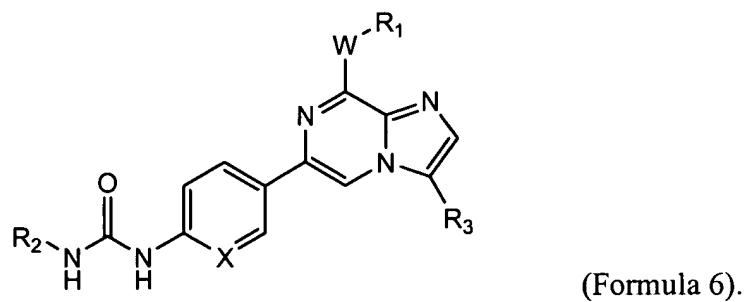
16. A compound or form thereof according to Claim 15, wherein Z_2 is



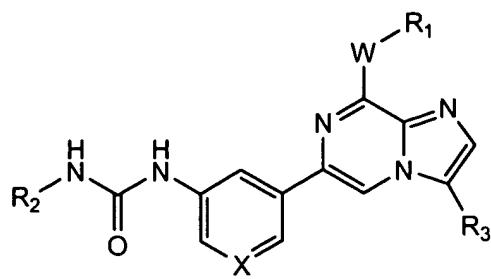
wherein, R₁₀ and R₁₁ are independently hydrogen, methyl, or ethyl.

17. A compound or form thereof according to Claim 16 wherein R₁₀ and R₁₁ are both hydrogen.

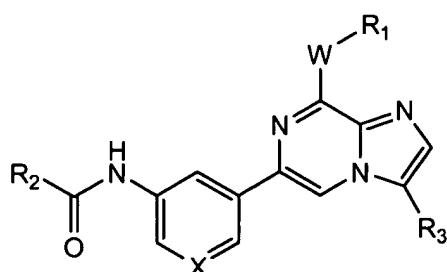
18. A compound or form thereof according to any one of Claims 1 to 17 of Formula 6



19. A compound or form thereof according to any one of Claims 1 to 17 of Formula 7



20. A compound or form thereof according to any one of Claims 1 to 17 of Formula 8



(Formula 8).

21. A compound or form thereof according to any one of Claims 1 to 20 wherein R₂ is phenyl, pyridyl, pyrimidinyl, pyrazinyl, imidazolyl, pyrrolyl, furanyl, thienyl, oxazolyl, or isoxazolyl, each of which may be either directly attached or bound via a C₁-C₂alkyl linker, and each of which is substituted with 0 to 3 substituents independently chosen from:

- (i) hydroxy, halogen, nitro, cyano, amino, sulfonamide, -CHO, C₁-C₆haloalkyl, and C₁-C₆haloalkoxy, and
- (ii) C₁-C₆alkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, (C₁-C₆alkoxy)C₁-C₆alkoxy, C₁-C₆alkylthio, mono- and di-(C₁-C₆alkyl)amino, amino(C₁-C₆alkyl), mono- and di-(C₁-C₆alkyl)amino(C₁-C₆alkyl), C₂-C₆alkanoyl, and heterocycloalkyl(C₀-C₂alkyl); each of which (ii) is substituted with 0 to 3 substituents independently chosen from halogen, hydroxy, amino, nitro, cyano, C₁-C₄alkoxy, C₃-C₇cycloalkyl, and mono- and di-(C₁-C₄alkyl)amino.

22. A compound or form thereof according to Claim 21, wherein R₂ is phenyl(C₀-C₂alkyl), pyridyl(C₀-C₂alkyl), or pyrimidinyl(C₀-C₂alkyl), each of which is substituted with 0 to 3 substituents independently chosen from:

(i) hydroxy, halogen, nitro, cyano, amino, C₁-C₂haloalkyl, and C₁-C₂haloalkoxy, and
(ii) C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, (C₁-C₆alkoxy)C₁-C₆alkyl, C₁-C₄alkylthio,
mono- and di-(C₁-C₄alkyl)amino, mono- and di-(C₁-C₄alkyl)amino(C₁-C₄alkyl), and
heterocycloalkyl(C₀-C₂alkyl); each of which (ii) is substituted with 0 to 3 substituents
independently chosen from halogen, hydroxy, amino, nitro, cyano, C₁-C₄alkoxy, C₃-
C₇cycloalkyl, and mono- and di-(C₁-C₄alkyl)amino.

23. A compound or form thereof according to Claim 25, wherein

R₂ is phenyl or benzyl, each of which is substituted with 0 to 3 substituents independently chosen
from halogen, hydroxy, amino, C₁-C₄alkyl, C₁-C₄alkoxy, C₁-C₄alkylthio, C₁-C₂haloalkyl,
and C₁-C₂haloalkoxy.

24. A compound or form thereof according to any one of Claims 1 to 23, wherein

R₃ is hydrogen or C₁-C₆alkyl, or

R₃ is C₃-C₇cycloalkyl, (C₃-C₇cycloalkyl)methyl, heterocycloalkyl, (heterocycloalkyl)C₁-C₂alkyl,
phenyl, phenyl, pyridyl, pyrimidinyl, pyrazinyl, imidazolyl, pyrrolyl, furanyl, thienyl,
oxazolyl, or isoxazolyl, each of which is substituted with 0 to 3 substituents
independently chosen from hydroxy, nitro, cyano, amino, halogen, C₁-C₆alkyl, C₃-
C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy, and mono- and di-(C₁-
C₄alkyl)amino; or

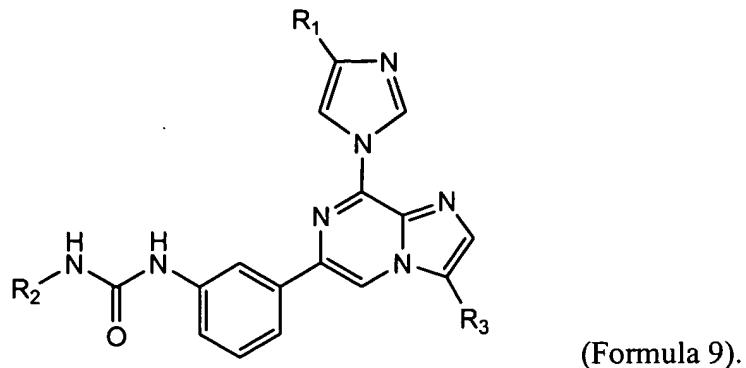
R₃ is phenoxyphenyl, each of which phenyl rings is substituted with 0 to 3 substituents
independently chosen from hydroxy, nitro, cyano, amino, halogen, C₁-C₆alkyl, C₃-
C₇cycloalkyl, C₁-C₆alkoxy, C₁-C₂haloalkyl, C₁-C₂haloalkoxy, and mono- and di-(C₁-
C₄alkyl)amino.

25. A compound or form thereof according to Claim 24, wherein

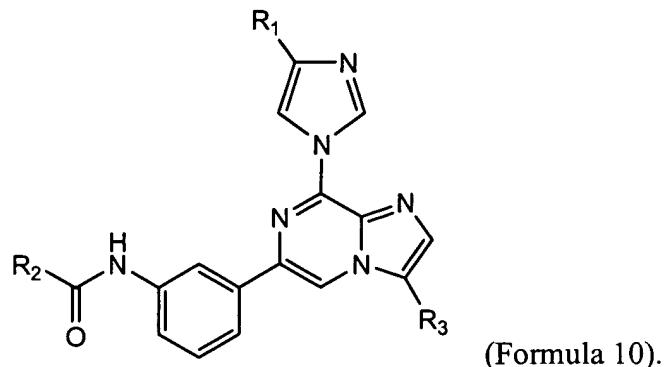
R₃ is hydrogen, C₁-C₆alkyl, C₃-C₇cycloalkyl(C₀-C₁alkyl), phenyl, or phenoxyphenyl.

26. A compound or form thereof according to Claim 25, wherein R₃ is hydrogen or
C₁-C₄alkyl.

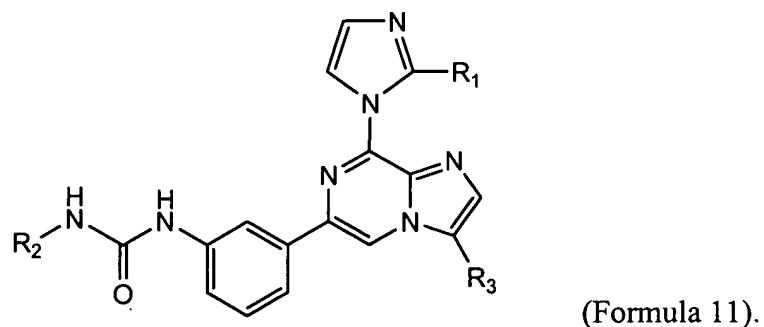
27. A compound or form thereof according to Claim 1 of Formula 9



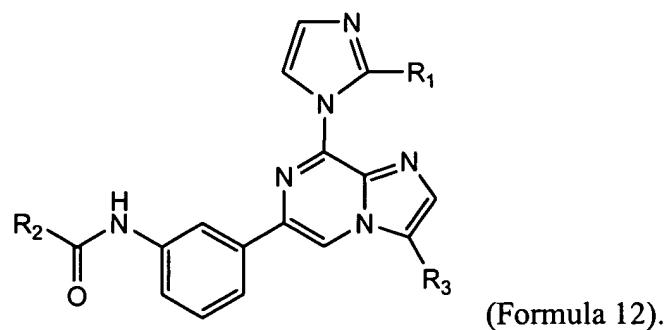
28. A compound or form thereof according to Claim 1 of Formula 10



29. A compound or form thereof according to Claim 1 of Formula 11

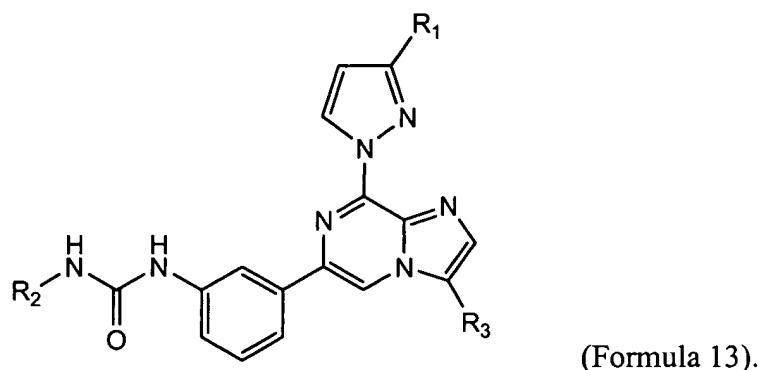


30. A compound or form thereof according to Claim 1 of Formula 12



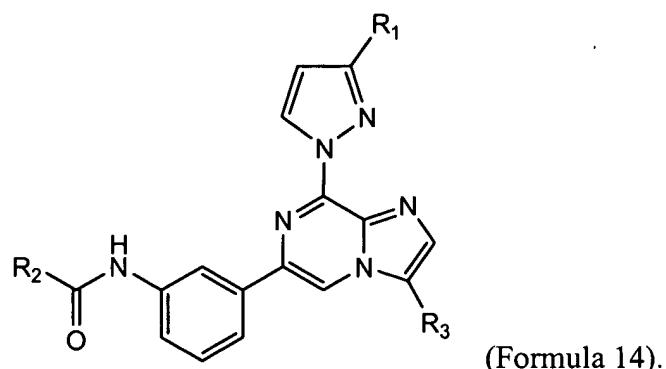
(Formula 12).

31. A compound or form thereof according to Claim 1 of Formula 13



(Formula 13).

32. A compound or form thereof according to Claim 1 of Formula 14



(Formula 14).

33. A compound or form thereof according to Claim 30 to 32, wherein

R₁ is bromo or C₁-C₄alkyl; or

R₁ is phenyl substituted with 0 to 2 substituents independently chosen from fluoro, chloro, bromo, C₁-C₂alkyl, and C₁-C₂alkoxy;

R₂ is phenyl or benzyl, each of which is substituted with 0 to 3 substituents independently chosen from:

(i) hydroxy, halogen, amino, C₁-C₂haloalkyl, and C₁-C₂haloalkoxy, and
(ii) C₁-C₆alkyl, C₁-C₆alkoxy, mono- and di-(C₁-C₄alkyl)amino, mono- and di-(C₁-C₄alkyl)amino(C₁-C₄alkyl), piperazinyl(C₀-C₁alkyl), piperidinyl(C₀-C₁alkyl), and morpholinyl(C₀-C₁alkyl); each of which (ii) is substituted with 0 to 3 substituents independently chosen from halogen, hydroxy, amino, C₁-C₂alkoxy, and mono- and di-(C₁-C₄alkyl)amino; and

R₃ is hydrogen or C₁-C₄alkyl.

34. A compound or form thereof according to any one of Claims 1 to 33, wherein the compound exhibits an IC₅₀ of 25 micromolar or less in an in vitro assay of tumor cell proliferation.

35. A compound or form thereof according to any one of Claims 1 to 33, wherein the compound exhibits an IC₅₀ of 10 micromolar or less in an in vitro assay of tumor cell proliferation.

36. A pharmaceutical composition, comprising a compound or form thereof according to any one of Claims 1 to 33, together with at least one pharmaceutically acceptable carrier or excipient.

37. A pharmaceutical composition according to Claim 36, wherein the composition is formulated as an injectable fluid, an aerosol, a cream, a gel, a tablet, a pill, a capsule, a syrup, ophthalmic solution, or a transdermal patch.

38. A packaged pharmaceutical composition, comprising
(a) a pharmaceutical composition according to Claim 36 in a container; and
(b) instructions for using the composition to treat a patient suffering from a disease or disorder responsive to Hsp90 complex modulation.

39. The packaged pharmaceutical composition of Claim 38 wherein the disease or disorder responsive to Hsp90 complex modulation is cancer, an autoimmune disease, or a neurodegenerative disease.

40. The packaged pharmaceutical composition of Claim 38 wherein the disease or disorder responsive to Hsp90 complex modulation is cancer.

41. The packaged pharmaceutical composition of Claim 38 wherein the disease or disorder responsive to Hsp90 complex modulation is autoimmune/inflammatory disease.

42. The packaged pharmaceutical composition of Claim 38 wherein the disease or disorder responsive to Hsp90 complex modulation is neurodegenerative disease.

43. A method of reducing medication error and enhancing therapeutic compliance of a patient being treated for a disease or disorder responsive to Hsp90 complex modulation, the method comprising providing a packaged pharmaceutical preparation according to Claim 38 wherein the instructions additionally include contraindication and adverse reaction information pertaining to the package pharmaceutical composition.

44. A method for modulating binding of ATP to Hsp90 complex, the method comprising contacting cells expressing Hsp90 complex with a compound according to any one of Claims 1 to 33 or form thereof in an amount sufficient to detectably decrease the level of an Hsp90 substrate protein *in vitro*.

45. A method for modulating the activity of Hsp90 complex, the method comprising contacting cells expressing Hsp90 complex with a compound according to any one of Claims 1 to 33 or form thereof in an amount sufficient to detectably decrease the level of an Hsp90 substrate protein *in vitro*.

46. The method of Claim 44 or Claim 45 wherein the substrate protein is ErbB2, Akt, or Raf.

47. The method of Claim 46 wherein the cells are present in a mammal.
48. The method of Claim 47 wherein the mammal is a human.
49. The method of Claim 47 wherein the mammal is a cat or dog.
50. A method for treating a patient having a disease or disorder responsive to Hsp90 complex modulation, comprising administering to the patient an effective amount of a compound or form thereof according to any one of Claims 1 to 33.
51. The method of Claim 50 wherein the patient is a human.
52. The method of Claim 50 wherein the patient is a cat or dog.
53. The method of Claim 50 wherein the disease or disorder responsive to Hsp90 complex modulation is cancer, an autoimmune disease, or a neurodegenerative disease.
54. The method of Claim 50 wherein the disease or disorder responsive to Hsp90 complex modulation is cancer.
55. The method of Claim 50 wherein the compound or form is administered orally.
56. The method of Claim 50 wherein the compound or form is administered intravenously, by intramuscularly, or parenterally.
57. A method for determining the presence or absence of Hsp90 complex in a sample comprising contacting the sample with a compound or form thereof according to any one of Claims 1 to 33 under conditions that permit binding of the compound or form to the Hsp90 complex, detecting a level of the compound or form bound to the Hsp90 complex, and therefrom determining the presence or absence of Hsp90 complex.

58. The method of Claim 57 wherein the compound or form thereof is radiolabelled.

59. The method of Claim 57, which additionally comprises separating unbound compound from bound compound; and determining the amount of bound compound in the sample.

60. A compound or form thereof according to Claim 1, wherein the compound is:

1-{3-[8-(4-Phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-3-o-tolyl-urea;
1-(4-Chloro-phenyl)-3-{3-[8-(4-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;
1-(2-Methylsulfanyl-phenyl)-3-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;
1-{3-[8-(2-Phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-3-o-tolyl-urea;
1-(4-Chloro-phenyl)-3-(3-{8-[4-(4-chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-urea;
1-(3-{8-[4-(4-Chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-o-tolyl-urea;
1-(4-Chloro-phenyl)-3-{3-[8-(4-p-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;
1-o-Tolyl-3-{3-[8-(4-p-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;
1-(4-Chloro-phenyl)-3-{3-[8-(4-methyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;
1-(3-Chloro-4-fluoro-phenyl)-3-(3-{8-[4-(4-chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-urea;
1-(3-Chloro-4-fluoro-phenyl)-3-{3-[8-(4-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;
1-(3-{8-[4-(4-Chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-[4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-urea;
1-(3-{8-[4-(4-Chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-(4-morpholin-4-ylmethyl-phenyl)-urea;

1-(3-{8-[4-(4-Chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-{4-[(3-ethoxy-propylamino)-methyl]-phenyl}-urea;

1-(4-Chloro-phenyl)-3-{3-[8-(3-phenyl-pyrazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

1-(3-Chloro-4-fluoro-phenyl)-3-{3-[8-(3-phenyl-pyrazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

1-(3-Chloro-4-fluoro-phenyl)-3-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

4-Chloro-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

3-Morpholin-4-ylmethyl-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

4-Morpholin-4-ylmethyl-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

1-(3-Chloro-4-fluoro-phenyl)-3-{3-[8-(2-p-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

1-{3-[8-(2-p-Tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-3-(3-trifluoromethyl-phenyl)-urea;

1-(4-Morpholin-4-ylmethyl-phenyl)-3-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

6-(4-Morpholin-4-ylmethyl-phenyl)-8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazine;

1-(4-Chloro-phenyl)-3-{3-[8-(2-o-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

1-(3-Chloro-4-fluoro-phenyl)-3-{3-[8-(2-o-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

1-(4-Chloro-phenyl)-3-(3-{8-[2-(2-methoxy-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-urea;

1-(4-Chloro-phenyl)-3-(3-{8-[2-(2-fluoro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-urea;

1-(3-Chloro-4-fluoro-phenyl)-3-(3-{8-[2-(2-fluoro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-urea;

1-(3-{8-[2-(2-Fluoro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-(3-trifluoromethyl-phenyl)-urea;

1-(3-{8-[2-(2-Methoxy-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-(3-trifluoromethyl-phenyl)-urea;

1-(4-Chloro-phenyl)-3-{3-[8-(2-isopropyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

1-(3-Chloro-4-fluoro-phenyl)-3-{3-[8-(2-isopropyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-urea;

1-{3-[8-(4-Bromo-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-3-(4-chloro-phenyl)-urea;

4-Fluoro-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

3-Methoxy-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide

3-Methoxy-4-methyl-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

N-{3-[8-(2-Phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

2,6-Dimethyl-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

4-Fluoro-N-{3-[8-(2-p-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

3-Methoxy-N-{3-[8-(2-p-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

3-Methoxy-4-methyl-N-{3-[8-(2-p-tolyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-benzamide;

2-(4-Chloro-phenyl)-N-{3-[8-(2-phenyl-imidazol-1-yl)-imidazo[1,2-a]pyrazin-6-yl]-phenyl}-acetamide;

2-(4-Chloro-phenyl)-N-(3-{8-[2-(4-chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-acetamide;

N-(3-{8-[2-(4-Chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-2-(3-trifluoromethyl-phenyl)-acetamide;

1-(3-{8-[2-(4-Chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-(4-morpholin-4-ylmethyl-phenyl)-urea;

1-(4-Chloro-benzyl)-3-(3-{8-[2-(4-chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-urea; or

1-(3-{8-[2-(4-Chloro-phenyl)-imidazol-1-yl]-imidazo[1,2-a]pyrazin-6-yl}-phenyl)-3-[4-(4-methyl-piperazin-1-ylmethyl)-phenyl]-urea.